

1. Process for preparation of compounds of formula I,



wherein

 R_1 and R_2 are independently of one another H, C_1 - C_6 alkyl, C_1 - C_6 halogenalkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy- C_1 - C_6 alkoxy- C_1 - C_6 alkyloxy, R_3 is C_1 - C_6 alkyl, R_4 is C_1 - C_6 alkyl, and R_5 is C_1 - C_6 alkyl, C_1 - C_6 hydroxyalkyl, C_1 - C_6 alkoxy- C_1 - C_6 -alkyl, C_1 - C_6 alkylamino- C_1 - C_6 -alkyl, C_1 - C_1 -C

wherein

 R_6 is C_1 - C_6 alkyl, R_7 is C_1 - C_6 alkyl or C_1 - C_6 alkoxy, or R_6 and R_7 together are tetramethylene, pentamethylene, 3-oxa-1,5-pentylene or - CH_2CH_2O -C(O)- substituted if necessary with C_1 - C_4 alkyl, phenyl or benzyl, with a halogenation agent in the presence of water, and if necessary, an acid to form a compound of formula III,





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$$R_1 \longrightarrow R_3 \times X$$

$$R_4 \longrightarrow R_4$$

$$R_1 \longrightarrow R_4$$

$$R_4 \longrightarrow R_4$$

wherein X is CI, Br or I,

b) reaction of the compound of formula III with an azidation agent to form a compound of formula IV,

$$R_1 \longrightarrow R_3 \qquad N_3 \qquad (IV),$$

c) thereafter reaction of the compound of formula IV with an amine of formula $\ensuremath{R_{\text{5}}\text{-}NH_{\text{2}}}$ to form a compound of formula V,

and

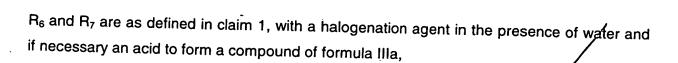
- d) for preparation of a compound of formula I, reduction of the azide group of the compound of formula V to form the amine group and then isolation of the compounds of formula I, if necessary with the addition of a salt-forming acid.
- 2. A process according to claim 1 comprising an embodiment wherein R_1 is $C_1\text{-}C_4$ alkoxy or C_1 - C_4 alkoxy- C_1 - C_4 alkyloxy, R_2 is C_1 - C_4 alkoxy, R_3 is C_1 - C_4 alkyl, R_4 is C_1 - C_4 alkyl and R_5 is $H_2NC(O)-C_1-C_6$ alkyl which if necessary is N-monosubstituted or N-di- C_1-C_4 alkyl substituted.

- 3. A process according to claim 2 comprising an embodiment wherein R_1 is 1-methoxyprop-3-yloxy and R_2 is methoxy.
- 4. A process according to claim 2 comprising an embodiment wherein R_3 and R_4 are in each case isopropyl.
- 5. A process according to claim 2 comprising an embodiment wherein R_5 is $H_2NC(O)-C_1-C_6$ alkyl.
- 6. A process according to claim 1 comprising an embodiment wherein R_1 is methoxy- C_2 - C_4 alkyloxy, R_2 is methoxy or ethoxy, R_3 is C_2 - C_4 alkyl, R_4 is C_2 - C_4 alkyl and R_5 is H_2 NC(O)- C_1 - C_6 alkyl.
- 7. A process according to claim 1 comprising an embodiment wherein R_1 is 3-methoxy-prop-3-yloxy, R_2 is methoxy, R_3 and R_4 are 1-methyleth-1-yl, and R_5 is $H_2NC(O)$ -[$C(CH_3)_2$]- CH_2 -.
- 8. A process according to claims 1 to 7 comprising the preparation of diastereomers of formula la.

wherein R₁, R₂, R₃, R₄ and R₅ are as defined in claim 1, by

a) the reaction of a compound of formula IIa,

$$\begin{array}{c} R_1 \\ R_2 \\ R_3 \\ \end{array}$$
 (IIa), wherein



(IIIa),

$$R_1$$
 R_2
 R_3
 R_4

wherein X is Cl, Br or I,

b) reaction of the compound of formula IIIa with an azidation agent to form a compound of formula IVa,

$$R_1$$
 R_3
 N_3
 R_4
(IVa),

c) then reaction of the compound of formula IVa with an amine of formula R₅-NH₂ to form a compound of formula Va,

$$\begin{array}{c} & & & \\ & &$$

and

- d) for preparation of a compound of formula I, reduction of the azide group of the compound of formula Va to form the amine group and then isolation of the compounds of formula Ia, if necessary with the addition of a salt-forming acid.
- 9. A process according to claim 8, comprising an embodiment wherein R_1 is CH_3O - $(CH_2)_3$ -O-, R_2 is CH_3O -, R_3 and R_4 are in each case 1-methylethyl, and R_5 is $-CH_2$ - $(CCH_3)_2$ -C(O)- NH_2 .

10. Compounds of formula II

$$\begin{array}{c|c}
R_1 & & \\
R_2 & & \\
R_3 & & \\
\end{array}$$

$$\begin{array}{c|c}
R_4 & \\
R_7 & \\
\end{array}$$
(II),

wherein R₁, R₂, R₃, R₄, R₆ and R₇ are as defined in claim 1.

- 11. Compounds according to claim, comprising an embodiment wherein R_1 is 1-methoxyprop-3-yloxy, R_2 is methoxy, R_3 and R_4 are isopropyl and R_6 is methyl or ethyl, R_7 is methyl, ethyl or methoxy, or R_6 and R_7 together are tetramethylene, pentamethylene or $CH(CH_2C_6H_5)CH_2$ -O-C(O)-.
- 12. Compounds according to claims 10 and 11, comprising an embodiment that corresponds to formula IIa

$$\begin{array}{c} R_4 \\ R_7 \\ R_7 \end{array} \tag{IIa}$$

wherein R_1 , R_2 , R_3 , R_4 , R_6 and R_7 are as defined in claim 1.

13. Compounds of formula III

$$R_{1} \longrightarrow R_{3} \longrightarrow X$$

$$R_{2} \longrightarrow R_{3} \longrightarrow X$$
(IIII),

wherein R₁, R₂, R₃, R₄, and X are as defined in claim 1.

- 14. Compounds according to claim 13 comprising an embodiment wherein R_1 is 1-methoxyprop-3-yloxy, R_2 is methoxy, R_3 and R_4 are isopropyl and X is Cl, Br or I.
- 15. Compounds according to claim 14, comprising an embodiment that corresponds to formula IIIa

wherein R₁, R₂, R₃, R₄, and X are as defined in claim 1.

16. Compounds of formula VII in the form of their racemates or enantiomers

$$Z \xrightarrow{C} NR_6R_7$$
 (VII),

wherein R₄, R₆ and R₇ are as defined in claim 1, and Z is Cl, Br or I.

- 17. Compounds according to claim 16, comprising an embodiment wherein R₄ is 1-methylethyl, Z is Cl, and R₆ is methyl or ethyl, R₇ is methyl, ethyl or methoxy, or R₆ and R₇ together are tetramethylene, pentamethylene or -CH(CH₂C₆H₅)CH₂-O-.
 - 18. Compounds according to claim 16, comprising an embodiment that corresponds to formula VIIa

$$z \xrightarrow{\bigcap_{R_4}^{O}} NR_6R_7$$
 (VIIa),

wherein R_4 , R_6 and R_7 are as defined in claim 1, and Z is Cl, Br or I.